

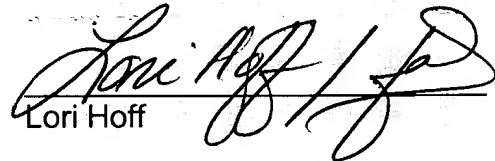
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TRANSLATION OF DE2503962A1

### TRANSLATOR'S CERTIFICATE

I, Lori Hoff, do hereby certify that I am fluent in the German and English languages. I prepared the translation into English of the document referred to as DE2503962A1. It is true and accurate to the best of my ability.

15 February 2005

  
Lori Hoff

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Reference: Sprayable agent in suspension for use on the skin.

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Case 5/654

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Sprayable agent in suspension for use on the skin.

The invention regards a sprayable agent for use on the skin, consisting of a liquid transport medium, a finely distributed amorphous solid suspended in it, and a propellant.

Various amorphous, insoluble, finely distributed solid preparations are used in dermatology and cosmetics, for example, powders, pigments or agents. The formulation of these agents in creams, pastes and liquids. They are also used in sprayable products, such as aerosols. These spray products show disadvantages in their pollution of the surrounding environment which people are then obliged to breathe in, resulting in coughs or other unpleasantness, and which can dirty clothes and other objects. The adherence to the skin is also of limited duration. It is therefore sought, by the addition of fats or fat-like substances, to increase the duration of adherence and to decrease the nebulisation effect. This is only possible in a narrow scope, and in addition, these substances can create an unpleasant greasy layer on the skin.

It is then determined, that by adding volatile liquids to the solid-containing sprays, the [following] disadvantages can be avoided:

The solids are atomized less in the atmosphere than previously and adhere better to their place of application because they stay in the suspension. After the volatilization of the solution medium of the skin, the solids remain adhered.

The objects of the invention are therefore sprays that are delivered by an aerosol pressure package by a propellant, or from another type of spray packaging, whose spray action is otherwise mechanically achieved, and that contains one or more liquid transport agents and finely distributed amorphous solids suspended in a liquid.

It was already known that small amounts of solution agents should be used in suspension sprays. The comparatively small amounts of solution agents relative to the solids present are only used as auxiliary suspension agents, therefore one could not achieve the goal of the present invention, namely keeping the solids in the suspension on their way to the skin.

The transport medium that then evaporates from the skin should have an evaporation point above the skin temperature of 37 degrees centigrade. The liquid transport medium content must be at least so high, in the liquid phase, consisting of the transport medium

and, if necessary, a propellant and the suspended solids during the spraying action that stay suspended until they reach the application area and make possible the adhesion of the solids and other substances, without losing a great deal of the solids during the propellant action. Some liquid transport media are ethanol, isopropanol, n-propanol and water or mixtures thereof.

The ratio of solid to solution depends on the type and degree of distribution of the solid and the nature of the solution medium; as explained in the procedural examples, it is usually 1:3 to 1:10.

The suspended amorphous solids can be raw materials used on the skin, for example talc, starch, starch derivatives, metal salts from fatty acids (zinc-, magnesium- and aluminum stearates), silicate acid modifications, zinc oxides, titanium dioxide, pigments, dyes and agents or a combination of these. Their sizes should be less than 50 $\mu$ m, ideally under 25  $\mu$ m.

Propellants for aerosol packaging are primarily gasses as well as liquids (normally gaseous at room temperature), for example N<sub>2</sub>, CO<sub>2</sub>, N<sub>2</sub>O, propane, butane monofluorotrichloromethane (propellant 11), difluorodichloromethane (propellant 12) and tetrafluorodichloroethanol (propellant 114), as well as mixtures thereof.

The spray medium invented can be used alone or in combination with all regular basic or auxiliary agents used on the skin, such as bacteriostatics, antioxidants, antimycotics, anesthetics, antiphlogistics, antiperspirants, herbal extracts, etheric oils, skin care ingredients and perfume oils. In addition, other colloidal silicate acids, metal stearates or other usual agents can be used as auxiliary suspension media.

The next examples should explain that practically all agents used on the skin can be used in spray suspensions. The agents are only named as examples and can be replaced by arbitrary agents for the same indication.

1. Body powders

1.5% talc  
3.0% ethered starch  
0.1% colloidal silicic acid  
1.0% adipinic acid-diisopropyl ester  
0.4% perfume oil  
34% ethanol, vol % 96  
60% propellant 12/114 (40:60)

2. Disinfectant, antiperspirant foot care product

5.0% ethered starch  
1.0% talc  
1.0% zinc stearate  
2.0% aluminum hydroxyl chloride  
0.2% antimycotic; for example dicholophene  
0.2% bacteriostatic; for example, hexachlorophene  
1.0% myritinic acid isopropyl ester  
0.2% perfume oil  
39.4% isopropanol  
50% propellant 12

Such a product impedes and fights foot fungus and protects the foot from maceration by its antiperspirant and hydrophobic attributes.

3. Antimicotica

5.0% ethered starch  
0.2% colloidal silicic acid  
0.1% bacteriostatic: for example 2,4,4'-trichlorine-2'-hydroxydiphenylether  
0.2% antimycotic; for example dodecyl-triphenyl-phosphonium bromide  
1.0% Isopropylmyristate  
33.5% isopropanol or n-propanol  
60% propellant 12/114 (40:60)

This type of formulation is particularly advantageous for use on hands, especially if one is working with latex gloves that lessens moisture loss that results from the putting on and taking off the gloves. In addition, the skin remains dry and counteracts a mycosis buildup.

4. Light protection agent

3.0% talc  
3.0% zinc oxide  
0.1% colloidal silicic acid  
0.5% light protection agent, in solution; for example 2-athoxyethyl-p-methoxy-cinnamat  
1.0% light protection pigment, insoluble; for example 1-phenyl-3(3-pyridyl)-1, 3-propandion.  
2.0% 2-octyl-dodecanol  
0.4% perfume oil  
30% ethanol 96% volume  
60% propellant 12/114 (40:60)

This type of formulation protects the skin from sunburn by known UV rays using powder and pigments.

5. Agents against pruritis

3.0% ethered starch

1.0% zinc stearate

0.1% colloidal silicic acid

1.0% myristine acid-isopropyl ester

1.0% anesthetic; for example p-aminobenzoic acid ethyl ester

0.1% bacteriostatic, for example, hexachlorophene

18.8% ethanol, anhydrous

75% propellant 11/12 (50:50)

In the examples 1 – 5 above, the soluble substances are dissolved in a solution, and the insoluble substances are suspended therein. After putting the suspension in a pressurized package, the propellants are added after sealing the packaging.

6. Anti-inflammatory skin treatments

7.0% ethered starch

5.0% talc

3.0% zinc stearate

0.5% colloidal silicic acid

3.0% PCL sicc. (brand name; ester aborescent fatty acids)

1.0% antiphlogistic; for example hydrocortisone

1.0% citric acid triethyl ester

3.5% solution agent, for example, cremophor RH 60

6.0% ethanol, 96% volume

70% water

The solid powder components are mixed well and added to the liquid phase in which the liquid components are dissolved with the help of the solution agent.

The suspension is poured into a container (without the addition of the liquid propellant), from which it is mechanically (for example, a pressurized bottle), pneumatically (sepro-system) or sprayed in another manner. For better and finer distribution, the suspension can also be saturated under pressure with CO<sub>2</sub> or another comparable gas. This type of agent is particularly good for the treatment of sunburns and other minor burns, because it is very gentle on the skin surface.

### Patent Claims

1. Spray agent for use on the skin consisting of one or more liquid transport agents that evaporate on the skin and finely distributed amorphous solids suspended in the liquid phase characterized by the fact that the solids remain suspended in the transport agent until they reach the application site.
2. Spray agent according to claim 1, characterized by the ratio of transport agent to solids 3:1 to 10:1.
3. Spray agent in accordance with claims 1 and 2, characterized by the fact that they contain ethanol, n-propanol, isopropanol, water or a mixture thereof as a liquid transport agent.
4. Spray agent in accordance with claims 1, 2 and 3, characterized by the content of suspended solids are talc, starch, starch derivates, metal stearate, silicic acids, zinc oxide, titanium dioxide, pigments, dyes, pharmaceutical agents or mixtures thereof.
5. Spray agent in accordance with claims 1 – 4, characterized by the fact that the solid components are of a size under 50  $\mu\text{m}$ .
6. Spray agent in accordance with claims 1 – 5 characterized by the fact that the suspension of the solids is improved by the addition of auxiliary suspension agents.
7. Spray agent in accordance with claims 1 – 6, characterized by the content of one or more propellants.
8. Spray agent in accordance with claim 7, characterized by the fact that the propellant is a liquid that is normally gaseous at room temperature.
9. Spray agent in accordance with claim 7, characterized by the fact that compromised gasses are used as propellants.
10. Spray agent in accordance with claims 1 – 6 characterized by the fact that the spray action is achieved without propellant, that is, mechanically.
11. Spray agent in accordance with claims 1 – 10, characterized by the fact that it contains agents, basic and auxiliary, that are used on the skin.